Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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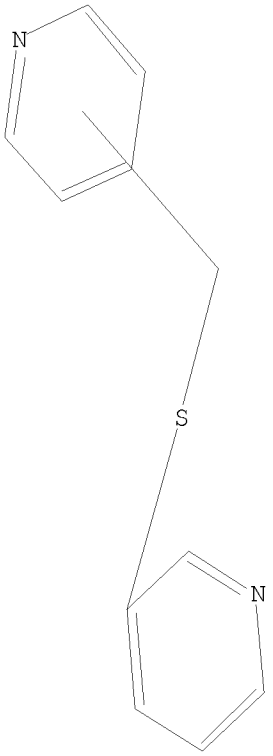
L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 832 TO ITERATE

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100.0% PROCESSED      832 ITERATIONS                                7 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        14910 TO    18370
PROJECTED ANSWERS:               7 TO      298

L2            7 SEA SSS SAM L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.49       0.71

FILE 'CAPLUS' ENTERED AT 12:59:21 ON 03 JUN 2010
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FILE COVERS 1907 - 3 Jun 2010  VOL 152 ISS 23
FILE LAST UPDATED: 2 Jun 2010  (20100602/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Apr 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Apr 2010

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l2
L3            5 L2

=> s l3 and py<2005
      25158280 PY<2005
L4            1 L3 AND PY<2005

=> d ibib abs hitstr
THE ESTIMATED COST FOR THIS REQUEST IS 5.81 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y
```

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:529133 CAPLUS
DOCUMENT NUMBER: 131:157711
TITLE: Preparation of pyridinecarboxylates and analogs as cholesteryl ester transfer protein inhibitors
INVENTOR(S): Lee, Len F.; Glenn, Kevin C.; Connolly, Daniel T.; Corley, David G.; Flynn, Daniel L.; Hamme, Ashton; Hegde, Shridhar G.; Melton, Michele A.; Schilling, Roger J.; Sikorski, James A.; Wall, Nancy N.; Zablocki, Jeffrey A.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 327 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9941237	A1	19990819	WO 1999-US1871	19990211 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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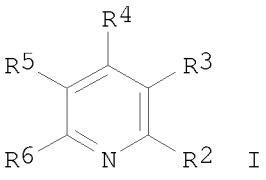
US 6605624	B1	20030812	US 2000-600870	20001211 <--
US 20040038939	A1	20040226	US 2003-403903	20030331 <--
US 6794396	B2	20040921		
US 20040220231	A1	20041104	US 2004-852975	20040525 <--

PRIORITY APPLN. INFO.:

US 1998-74586P	P	19980213
WO 1999-US1871	W	19990211
US 2000-600870	A3	20001211
US 2003-403903	A3	20030331

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 131:157711
GI



I

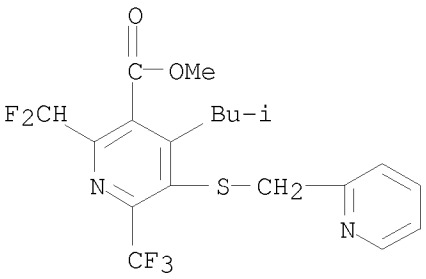
AB Title compds. [I; R2,R6 = H, OH, (fluoro)alkyl, alkoxy, etc.; R3 = OH, CHO, alkoxycarbonyl, (hetero)arylcarbonyl, etc.; R5 = H, halo, alkyl, alkoxy, etc.; R5 = H, halo, alkyl, alkoxy(carbonyl), etc.] were prepared

Thus, CF3C(NH2):C(CO2Me)COMe was refluxed with Ac2O/HC(OMe)3 and the product converted in 2 steps to I (R2 = CF3, R3 = CO2Me, R4 = OCHMe2, R5 = R6 = H). Data for biol. activity of I were given.

IT 237759-21-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridinecarboxylates and analogs as cholesteryl ester transfer protein inhibitors)

RN 237759-21-6 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(difluoromethyl)-4-(2-methylpropyl)-5-[(2-pyridinylmethyl)thio]-6-(trifluoromethyl)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	9.12	9.83

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.85	-0.85

FILE 'REGISTRY' ENTERED AT 13:00:37 ON 03 JUN 2010
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 2 JUN 2010 HIGHEST RN 1226851-61-1
DICTIONARY FILE UPDATES: 2 JUN 2010 HIGHEST RN 1226851-61-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> s l1 sss full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 191.05 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 13:00:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 16631 TO ITERATE

100.0% PROCESSED 16631 ITERATIONS 155 ANSWERS
SEARCH TIME: 00.00.01

L5 155 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	191.54	201.37

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.85

FILE 'CAPLUS' ENTERED AT 13:00:58 ON 03 JUN 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 3 Jun 2010 VOL 152 ISS 23
FILE LAST UPDATED: 2 Jun 2010 (20100602/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2010

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l5
L6          22 L5

=> s l6 and py<2005
      25158280 PY<2005
L7           9 L6 AND PY<2005

=> d 1-9 ibib abs hitstr
THE ESTIMATED COST FOR THIS REQUEST IS 52.29 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L7   ANSWER 1 OF 9  CAPLUS  COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER:         2004:756691  CAPLUS
DOCUMENT NUMBER:          141:260553
TITLE:                    Preparation of compounds having 4-pyridylalkylthio
                          group as inhibitors of angiogenesis and vascular
                          permeability
INVENTOR(S):              Honda, Takahiro; Tajima, Hisashi; Sasabuchi,
                          Yoshimasa; Kawashima, Kenji; Okamoto, Kazuyoshi;
                          Yamamoto, Minoru; Ban, Masakazu
PATENT ASSIGNEE(S):       Santen Pharmaceutical Co. Ltd., Japan
SOURCE:                   PCT Int. Appl., 350 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

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     WO 2004078723         A1    20040916    WO 2004-JP2812          20040305 <--
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
             BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
             MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,
             GN, GQ, GW, ML, MR, NE, SN, TD, TG
     JP 2005232149         A     20050902    JP 2004-109503          20040305
     EP 1602647            A1    20051207    EP 2004-717833          20040305
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
     US 20060194836        A1    20060831    US 2005-548283          20050901
     US 7534802            B2    20090519
     US 20090286786        A1    20091119    US 2009-381290          20090310
     JP 2010116403         A     20100527    JP 2010-2879            20100108
PRIORITY APPLN. INFO.:                       JP 2003-62042       A   20030307
                                             JP 2004-11602       A   20040120
                                             JP 2004-109503      A3  20040305
                                             WO 2004-JP2812      W   20040305
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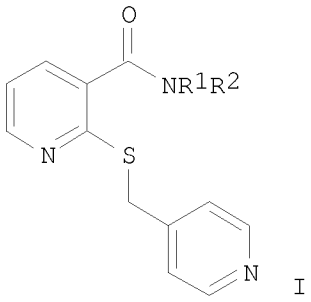
US 2005-548283 A3 20050901
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 141:260553
GI



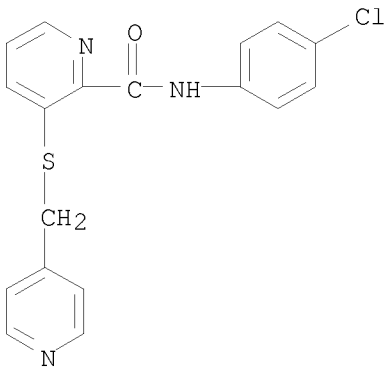
I

AB Title compds. e.g. I (R1, R2 = H, alkyl, cycloalkyl, Ph, substituted Ph, heteroaryl, etc.), useful as as inhibitors of angiogenesis and vascular permeability, are prepared Thus, stirring 2-(4-pyridylmethylthio)pyridine-3-carboxylic acid with 4-chloroaniline in DMF in the presence of N,N-diisopropylethylamine and O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate at room temperature for 3 h gave 91% N-(4-chlorophenyl)-2-(4-pyridylmethylthio)pyridine-3-carboxamide (II). II showed angiogenesis inhibitor activity at 20 μg/mL. Formulations containing I were given.

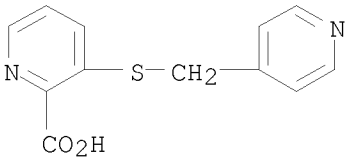
IT 754220-09-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of compds. having 4-pyridylalkylthio group as inhibitors of angiogenesis and vascular permeability)

RN 754220-09-2 CAPLUS

CN 2-Pyridinecarboxamide, N-(4-chlorophenyl)-3-[(4-pyridinylmethyl)thio]- (CA INDEX NAME)



IT 754218-68-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of compds. having 4-pyridylalkylthio group as inhibitors of angiogenesis and vascular permeability)
RN 754218-68-3 CAPLUS
CN 2-Pyridinecarboxylic acid, 3-[(4-pyridinylmethyl)thio]- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:532638 CAPLUS
DOCUMENT NUMBER: 139:101146
TITLE: Preparation of benzyl or heterocyclylmethyl phenyl or heterocyclyl sulfones as β-amyloid protein production/secretion inhibitors
INVENTOR(S): Yasukochi, Takanori; Ito, Masayuki; Kubota, Hideki; Miyauchi, Satoshi; Saito, Masaki
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 540 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003055850	A1	20030710	WO 2002-JP13792	20021227 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2002367147	A1	20030715	AU 2002-367147	20021227 <--
EP 1466898	A1	20041013	EP 2002-790937	20021227 <--
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CN 1585746	A	20050223	CN 2002-827790		20021227
CN 100562516	C	20091125			
RU 2304140	C2	20070810	RU 2004-122915		20021227
JP 4329905	B2	20090909	JP 2003-556382		20021227
KR 927304	B1	20091118	KR 2004-709960		20021227
US 20050234109	A1	20051020	US 2004-500156		20040625
US 7399775	B2	20080715			
US 20070293495	A1	20071220	US 2007-829533		20070727
PRIORITY APPLN. INFO.:			JP 2001-395701	A	20011227
			WO 2002-JP13792	W	20021227
			US 2004-500156	A3	20040625

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

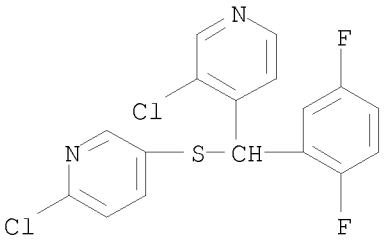
OTHER SOURCE(S): MARPAT 139:101146

AB Novel compds. having various substituents as represented by the following general formula R1(R2)(R3)C-X-R4, salts thereof, and solvates of the same [wherein X = S, SO, SO2; R1 = CR5R6R7, NR8R9, X1R10, X2R11; wherein R5, R6, R7 = halo, cyano, NO2, -Q51-Q52-Q53-Q54; Q51, Q53 = single bond, CO, S(O), SO2, COCO, COC(S), C(S)C(S); Q52 = single bond, O, ON(A51), ON(COA51), N(A51), N(COA51), N(CO2A51), N[CON(A51)(A52)], N(OA51), N(NA51A52), N(A51)N(A52), N(COA51)N(A52), N(A51)-O, N(COA51)-O, S, N:N, C(A51):N, C(A51):N-O, C(A51):N-N(A52), N:C(A51), O-N:C(A51), N(A51)-N:C(A52), C(:NA51)-N(A52); Q54 = A53, OA53, N(A53)(A54), SA53, NA54-OA53, NA55-N(A53)(A54), O-N(A53)(A54); wherein A51, A52, A53 = H, (un)substituted hydrocarbyl or heterocyclyl; R2, R3, R4, R8, R9, R10, R11 = -Q51-Q52-Q53-Q54 defined in R5-R7; X1 = O, S; X2 = SO, SO2; or R1 and R2 or R3 and R4 are combined together to form (un)substituted cyclohydrocarbyl or heterocyclyl] are prepared These compds. have an effect of inhibiting the production/secretion of a β-amyloid protein and are useful for the prevention or treatment of diseases caused by unusual production/secretion of β-amyloid, in particular Alzheimer's disease or Down's syndrome. Thus, a solution of 100 mg 2,5-dichloro-4-[(4-chlorophenylthio)-(2,5-difluorophenyl)methyl]pyridine (preparation given) and 200 μL morpholine in 1.0 mL 1,4-dioxane was stirred at 100° for 2 days to give 4-[5-chloro-4-[(4-chlorophenylthio)-(2,5-difluorophenyl)methyl]pyridin-2-yl]morpholine which (90 mg) was dissolved in 12 mL MeOH, treated with 60 mg ammonium molybdate tetrahydrate [(NH4)6Mo7O24.4H2O] and 6 mL 30% H2O2, and stirred for 8 h to give 83% 4-[5-chloro-4-[(4-chlorophenylsulfonyl)-(2,5-difluorophenyl)methyl]pyridin-2-yl]morpholine (I). I in vitro glioma cell (H4 cell) expressing human β-amyloid protein precursor protein gene (APP751 gene) with EC50 of ≤50 nM.

IT 558465-25-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzyl or heterocyclylmethyl Ph or heterocyclyl sulfones as β-amyloid protein production/secretion inhibitors for treatment or preparation of Alzheimer's disease or Down's syndrome)

RN 558465-25-1 CAPLUS

CN Pyridine, 2-chloro-5-[[(3-chloro-4-pyridinyl)(2,5-difluorophenyl)methyl]thio]- (CA INDEX NAME)



IT 558465-26-2P 558465-27-3P 558465-49-9P
558465-50-2P 558465-75-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzyl or heterocyclylmethyl Ph or heterocyclyl sulfones as β-amyloid protein production/secretion inhibitors for treatment or preparation of Alzheimer's disease or Down's syndrome)

RN 558465-26-2 CAPLUS
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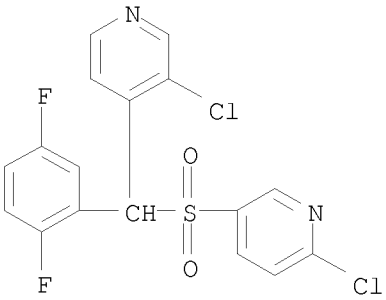
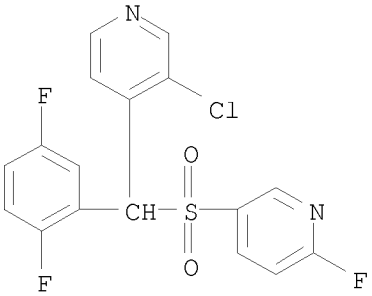


RN 558465-27-3 CAPLUS
CN Pyridine, 5-[[(3-chloro-4-pyridinyl)(2,5-difluorophenyl)methyl]sulfonyl]-2-fluoro- (CA INDEX NAME)



RN 558465-49-9 CAPLUS
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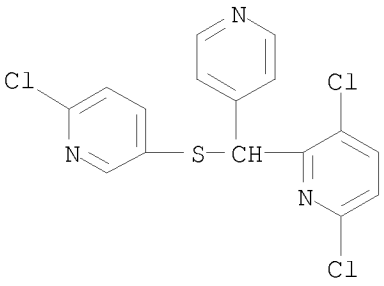
(CA INDEX NAME)



RN 558465-50-2 CAPLUS
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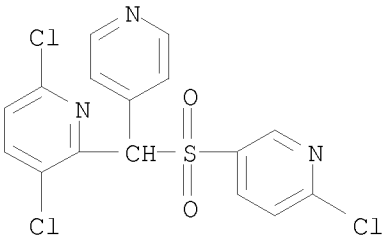
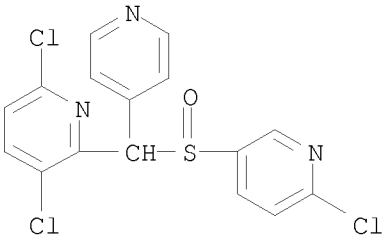


RN 558465-75-1 CAPLUS
CN Pyridine, 2-chloro-5-[[(3,6-dichloro-2-pyridinyl)-4-pyridinylmethyl]sulfinyl]- (CA INDEX NAME)



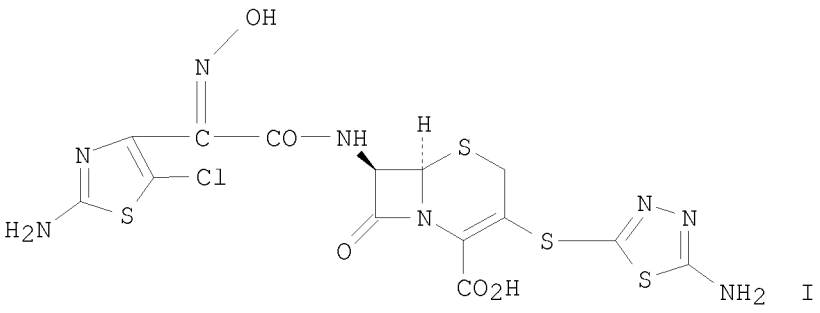
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:171629 CAPLUS
DOCUMENT NUMBER: 136:226767
TITLE: Cephalosporin antibiotics and prodrugs thereof
INVENTOR(S): Glinka, Tomasz W.
PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002017854	A2	20020307	WO 2001-US26628	20010823 <--
WO 2002017854	A3	20020613		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20020115650	A1	20020822	US 2001-925217	20010808 <--
US 6599893	B2	20030729		
CA 2420871	A1	20020307	CA 2001-2420871	20010823 <--
AU 2001088420	A	20020313	AU 2001-88420	20010823 <--
EP 1333836	A2	20030813	EP 2001-968147	20010823 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013634	A	20040203	BR 2001-13634	20010823 <--
ZA 2003001391	A	20040220	ZA 2003-1391	20010823 <--
JP 2004507481	T	20040311	JP 2002-522829	20010823 <--
NZ 524438	A	20050826	NZ 2001-524438	20010823
RU 2281948	C2	20060820	RU 2003-108734	20010823
AU 2001288420	B2	20060928	AU 2001-288420	20010823
TW 283681	B	20070711	TW 2001-90121362	20010829
NO 2003000931	A	20030429	NO 2003-931	20030227 <--
MX 2003001782	A	20041101	MX 2003-1782	20030227 <--

PRIORITY APPLN. INFO.: US 2000-229174P P 20000829
WO 2001-US26628 W 20010823
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 136:226767
GI



I

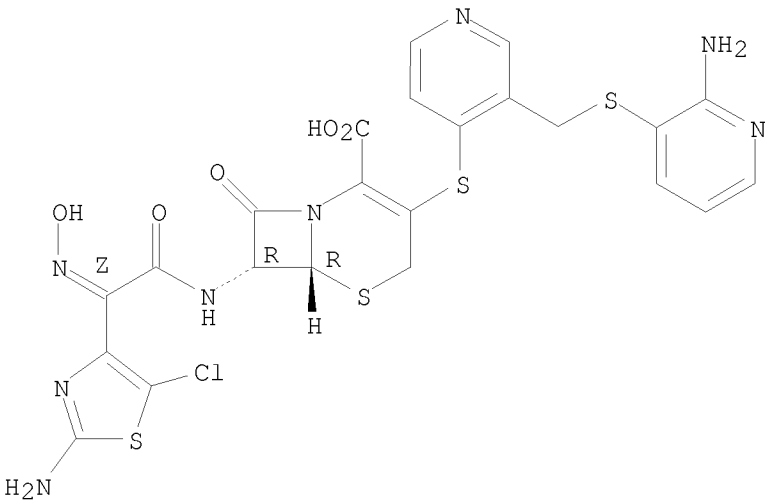
AB The present invention relates to novel cephalosporin antibiotics, prodrugs thereof and pharmacol. acceptable salts of either, which are active against a wide spectrum of bacteria that are resistant to present clin. β-lactam antibiotics. E.g., I among many other similar cephalosporin derivs. was prepared Compds. were evaluated for antimicrobial activity against a panel of bacterial strains, mainly Staphylococcus aureus and the compds. were also tested in mice challenged i.p. with a bacterial suspension of S. aureus.

IT 315181-86-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cephalosporin antibiotics and prodrugs)

RN 315181-86-3 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-2-(2-amino-5-chloro-4-thiazolyl)-2-(hydroxyimino)acetyl]amino]-3-[[3-[[(2-amino-3-pyridinyl)thio]methyl]-4-pyridinyl]thio]-8-oxo-, (6R,7R)- (CA INDEX NAME)

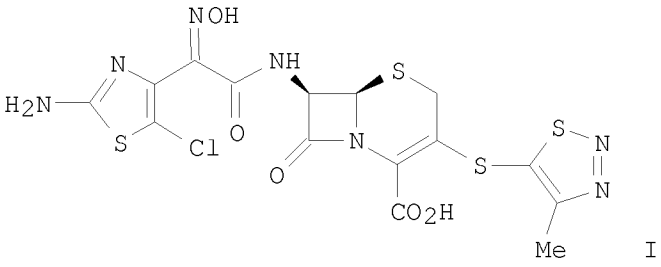
Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:780048 CAPLUS
DOCUMENT NUMBER: 134:71401
TITLE: SAR studies of anti-MRSA non-zwitterionic 3-heteroarylthiocephems
AUTHOR(S): Glinka, T. W.; Cho, A.; Zhang, Z. J.; Ludwikow, M.; Griffith, D.; Huie, K.; Hecker, S. J.; Dudley, M. N.; Lee, V. J.; Chamberland, S.
CORPORATE SOURCE: Microcide Pharmaceuticals, Mountain View, CA, USA
SOURCE: Journal of Antibiotics (2000), 53(10), 1045-1052

CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

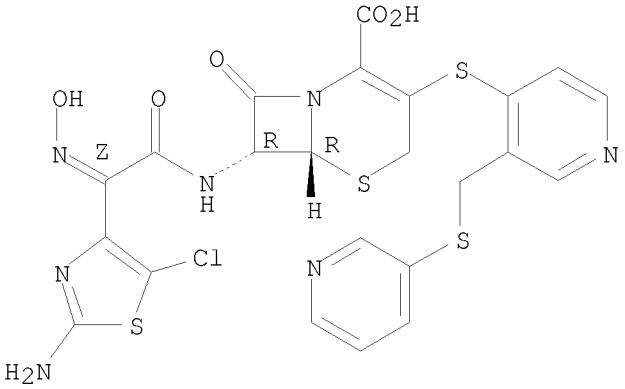
AB Structure activity relationship studies in a series of 3-heteroarylthio substituted cephalosporins established that high activity against methicillin-resistant Staphylococcus aureus (MRSA) can be achieved with various heteroaryl substituents. Early results showed that highly lipophilic 3-heteroarylthio substituents, which were necessary for anti-MRSA activity, caused high affinity of such cephems toward serum proteins. The authors earlier published efforts described discovery of zwitterionic cephems MC-02,331 and RWJ-54428 (MC-02,479), where serum binding was reduced by employing basic, pos. charged functionalities attached to the 3-heteroarylthio substituent. In order to avoid low solubility problems associated with most such zwitterionic cephalosporins a wide variety of non-basic heteroaryl substituents, e.g. I, was tested (non-zwitterionic cephems are more easily formulated as water soluble sodium salts for i.v. administration). Considerable reduction in serum binding was obtained in some analogs while maintaining high anti-MRSA potency.

IT 315181-83-0P 315181-86-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and structure activity relationship studies of anti-methicillin-resistant Staphylococcus aureus non-zwitterionic 3-heteroarylthiocephems)

RN 315181-83-0 CAPLUS

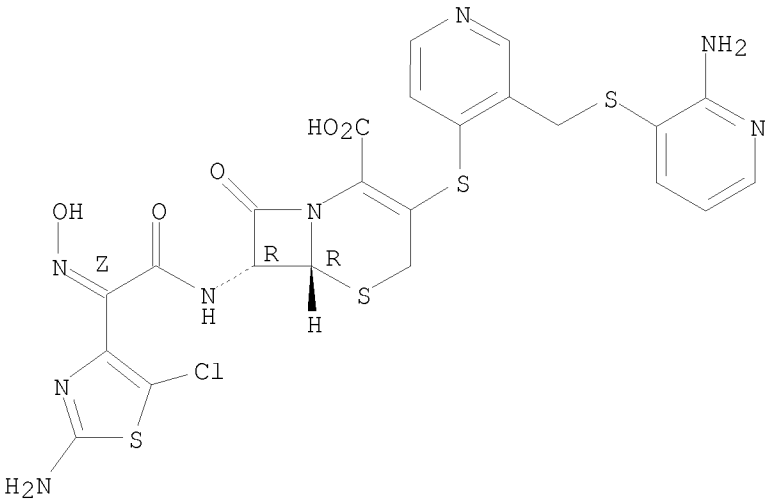
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-2-(2-amino-5-chloro-4-thiazolyl)-2-(hydroxyimino)acetyl]amino]-8-oxo-3-[[3-[(3-pyridinylthio)methyl]-4-pyridinyl]thio]-, (6R,7R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 315181-86-3 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-2-(2-amino-5-chloro-4-thiazolyl)-2-(hydroxyimino)acetyl]amino]-3-[[3-[[(2-amino-3-pyridinyl)thio]methyl]-4-pyridinyl]thio]-8-oxo-, (6R,7R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:529133 CAPLUS
DOCUMENT NUMBER: 131:157711
TITLE: Preparation of pyridinecarboxylates and analogs as cholesteryl ester transfer protein inhibitors
INVENTOR(S): Lee, Len F.; Glenn, Kevin C.; Connolly, Daniel T.;

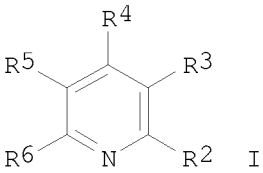
Corley, David G.; Flynn, Daniel L.; Hamme, Ashton; Hegde, Shridhar G.; Melton, Michele A.; Schilling, Roger J.; Sikorski, James A.; Wall, Nancy N.; Zablocki, Jeffrey A.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 327 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9941237	A1	19990819	WO 1999-US1871	19990211 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9932854	A	19990830	AU 1999-32854	19990211 <--
US 6605624	B1	20030812	US 2000-600870	20001211 <--
US 20040038939	A1	20040226	US 2003-403903	20030331 <--
US 6794396	B2	20040921		
US 20040220231	A1	20041104	US 2004-852975	20040525 <--

PRIORITY APPLN. INFO.:

US 1998-74586P	P	19980213
WO 1999-US1871	W	19990211
US 2000-600870	A3	20001211
US 2003-403903	A3	20030331

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 131:157711
GI



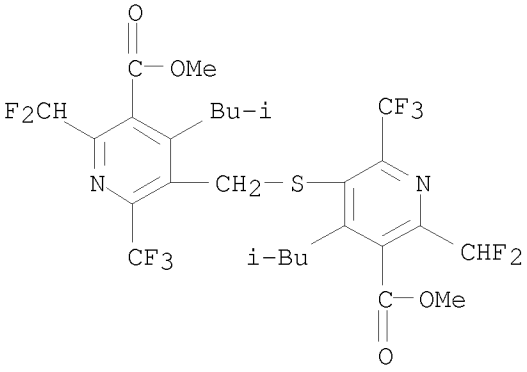
I

AB Title compds. [I; R2,R6 = H, OH, (fluoro)alkyl, alkoxy, etc.; R3 = OH, CHO, alkoxycarbonyl, (hetero)arylcarbonyl, etc.; R5 = H, halo, alkyl, alkoxy, etc.; R5 = H, halo, alkyl, alkoxy(carbonyl), etc.] were prepared Thus, CF3C(NH2):C(CO2Me)COMe was refluxed with Ac2O/HC(OMe)3 and the product converted in 2 steps to I (R2 = CF3, R3 = CO2Me, R4 = OCHMe2, R5 = R6 = H). Data for biol. activity of I were given.
IT 237758-70-2P 237758-71-3P 237759-21-6P
237759-29-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridinecarboxylates and analogs as cholesteryl ester transfer protein inhibitors)

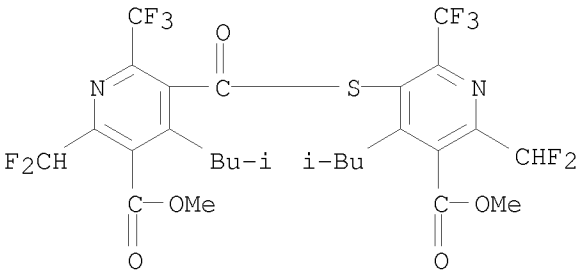
RN 237758-70-2 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(difluoromethyl)-5-[[[6-(difluoromethyl)-5-(methoxycarbonyl)-4-(2-methylpropyl)-2-(trifluoromethyl)-3-pyridinyl]methyl]thio]-4-(2-methylpropyl)-6-(trifluoromethyl)-, methyl ester (CA INDEX NAME)



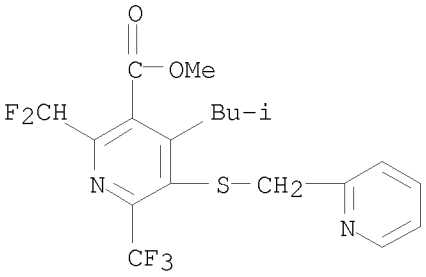
RN 237758-71-3 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(difluoromethyl)-5-[[[6-(difluoromethyl)-5-(methoxycarbonyl)-4-(2-methylpropyl)-2-(trifluoromethyl)-3-pyridinyl]carbonyl]thio]-4-(2-methylpropyl)-6-(trifluoromethyl)-, methyl ester (CA INDEX NAME)

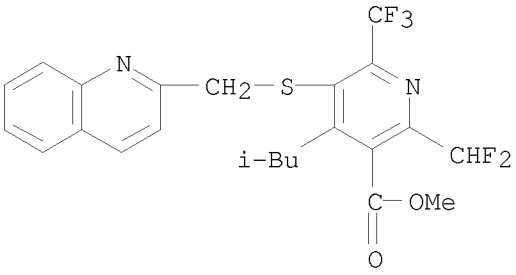


RN 237759-21-6 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(difluoromethyl)-4-(2-methylpropyl)-5-[(2-pyridinylmethyl)thio]-6-(trifluoromethyl)-, methyl ester (CA INDEX NAME)



RN 237759-29-4 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-(difluoromethyl)-4-(2-methylpropyl)-5-[(2-quinolinylmethyl)thio]-6-(trifluoromethyl)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:487274 CAPLUS
DOCUMENT NUMBER: 131:116520
TITLE: Preparation of phenylalanine derivatives as pharmaceutical agents
INVENTOR(S): Head, John Clifford; Archibald, Sarah Catherine; Warrellow, Graham John; Porter, John Robert
PATENT ASSIGNEE(S): Celltech Therapeutics Limited, UK
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937618	A1	19990729	WO 1999-GB279	19990127 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,				

TR, TT, UA, UG, US, UZ, VN, YU, ZW
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6329372	B1	20011211	US 1999-237060	19990126	<--
AU 9924320	A	19990809	AU 1999-24320	19990127	<--
EP 1051399	A1	20001115	EP 1999-903798	19990127	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 2002501051	T	20020115	JP 2000-528542	19990127	<--
US 20020035127	A1	20020321	US 2001-964161	20010926	<--

PRIORITY APPLN. INFO.:	GB 1998-1674	A	19980127
	GB 1998-26669	A	19981203
	US 1999-237060	A1	19990126
	WO 1999-GB279	W	19990127

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 131:116520

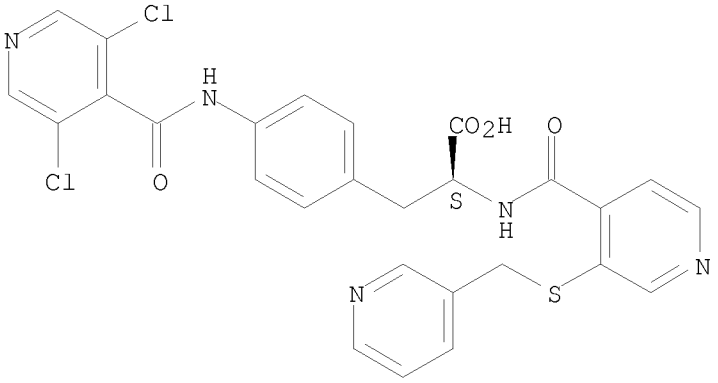
AB Phenylalanine derivs. 4-[R1(Alk1)rL1s]C6H2RaRb(Alk2)mCHRR2NR3COHet [R is a carboxylic acid or derivative; R1 = H, OH, alkoxy or optionally substituted cycloaliph., polycycloaliph., heterocycloaliph., polyheterocycloaliph., arom, or heteroarom. group; Alk1 = optionally substituted aliphatic or heteroaliph. chain; L1 is a linker atom or group; r, s = 0, 1; Ra, Rb = -L2(CH2)pL3Rcq, where L2, L3 = a covalent bond or linker atom or group; p = 0, 1; q = 1-3; Rc = H, halo, alkyl, OH, alkoxy, etc.; Alk2 = alkylene; m = 0, 1; R2 = H, Me; R3 = H, alkyl; Het is an optionally substituted heteroarom. group] and their salts, solvates, hydrates and N-oxides were prepared as pharmaceutical agents. Thus, N-(2-chloronicotinoyl)-N'-(3,5-dichloro-4-picolyl)-L-4-aminophenylalanine was prepared by coupling reaction of N-(3,5-dichloro-4-picolyl)-L-4-aminophenylalanine Me ester with 2-chloronicotinoyl chloride followed by ester hydrolysis. Title compds. were tested for inhibition of integrin-dependent cell adhesion and generally have IC50 values in the $\alpha 4\beta 1$ and $\alpha 4\beta 7$ assays of 1μM and below.

IT 232617-68-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylalanine derivs. as pharmaceutical agents)

RN 232617-68-4 CAPLUS

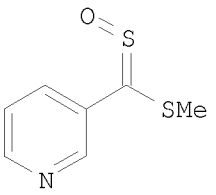
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[[3-[(3-pyridinylmethyl)thio]-4-pyridinyl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

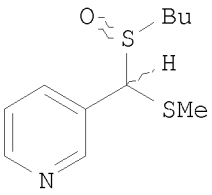


OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (27 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

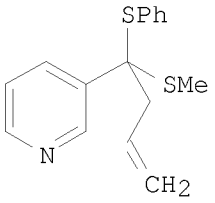
L7 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1998:779062 CAPLUS
DOCUMENT NUMBER: 130:124973
TITLE: Selective thiophilic addition of alkyl- and aryllithiums to dithio esters and a sulfine in the pyridine series
AUTHOR(S): Lempereur, Claude; Ple, Nelly; Turck, Alain; Queguiner, Guy; Corbin, Florence; Alayrac, Carole; Metzner, Patrick
CORPORATE SOURCE: Laboratoire de Chimie Organique Fine et Heterocyclique (UPRESA CNRS 6014), IRCOF, INSA, Mont Saint-Aignan, 76131, Fr.
SOURCE: Heterocycles (1998), 48(10), 2019-2034
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:124973
GI



I



II



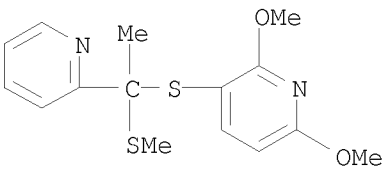
III

AB Nucleophilic addition reactions of Me 2- and 3-pyridinedithiocarboxylate esters and sulfine (S-thiocarbonyl oxide) I with various aryl- and alkyllithiums at -78°C afforded dithio acetals or their oxides arising from a thiophilic addn of alkyllithium reagents at sulfur and subsequent alkylation or protonation at carbon. E.g., nucleophilic addition of n-butyllithium to I in anhydrous THF followed by protonation with water in THF gave the (methylthio)butylsulfanylmethylpyridine II in 90% yield after workup. The intermediate carbanions can be trapped by alkyl halides or an aldehyde. E.g., nucleophilic addition of phenyllithium to Me 3-pyridinedithiocarboxylate in THF followed by alkylation with allyl bromide gave III in 78% yield. This provides a new entry to pyridyl acyl anions, "Umpolung" synthons.

IT 219880-03-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyridinedithioacetals by regioselective nucleophilic addition
of alkyllithiums and aryllithiums to pyridinedithiocarboxylate and pyridinedithiocarboxylate S-oxides and alkylation at carbon)

RN 219880-03-2 CAPLUS

CN Pyridine, 2,6-dimethoxy-3-[[1-(methylthio)-1-(2-pyridinyl)ethyl]thio]- (CA INDEX NAME)



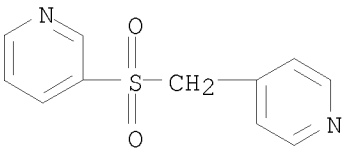
OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1957:52145 CAPLUS
DOCUMENT NUMBER: 51:52145
ORIGINAL REFERENCE NO.: 51:9711d
TITLE: Pyridine homolog
INVENTOR(S): Bamford, Wm. R.
PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
GB 758076		19560926	GB		<--

AB See U.S. 2,769,007 (C.A. 51, 6704e).
IT 99984-79-9P, Pyridine, 3-(4-pyridylmethylsulfonyl)-
RL: PREP (Preparation)
(preparation of)
RN 99984-79-9 CAPLUS
CN Pyridine, 3-[(4-pyridinylmethyl)sulfonyl]- (CA INDEX NAME)



L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1957:17515 CAPLUS
DOCUMENT NUMBER: 51:17515
ORIGINAL REFERENCE NO.: 51:3671a-e
TITLE: 3-Pyridinesulfinic acid and derivatives
INVENTOR(S): Goldberg, Moses W.; Teitel, Sidney
PATENT ASSIGNEE(S): Hoffmann-La Roche Inc.
DOCUMENT TYPE: Patent
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FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 2761866		19560904	US 1954-441949	19540707	<--

AB The condensation of 3-pyridinesulfonyl chloride (i) with N2H4.H2O (II) and subsequent reaction with CO compds. containing 3 or more C atoms gives 3-pyridinesulfinic acid (III). Thus, treating 25 g. 100% II in 150 ml. EtOH with 30 g. I below 50°, cooling the mixture to room temperature, filtering it, adding C6H6 to the filtrate, concentrating (to remove the H2O-C6H6-EtOH ternary), filtering the concentrated solution, concentrating the filtrate to 50 ml., adding MeCN until turbid, storing overnight at 4° and filtering, yields 3-pyridinesulfonic acid hydrazide (IV), pale yellow crystals, m. 100-2°. Adding with stirring 15 ml. Me2CO (V) to 50

g. IV in 100 ml. H2O at a maximum temperature of 50°, diluting with 1600 ml. V, storing 3 hrs. at 4°, filtering, washing with V, and air-drying yields III, m. 161-3°. The preparation is described of the following derivs. of III (m.p. given): p-nitrophenyl 3-pyridyl sulfone, 172-4°; 1-methyl-3-(p-nitrophenylsulfonyl) pyridinium bromide-1/2H2O, 294-6° (decomposition); 3-pyridyl 4-pyridyl sulfone (VI) 124-5°; VI.MeBr, 141-3° (decomposition); p-nitrobenzyl 3-pyridyl sulfone (VII), 204-5° (decomposition); VII.MeBr, 227-8° (decomposition); 3-(p-nitrobenzylsulfonyl)-1-propylpyridinium bromide, 217-18° (decomposition); 3-(p-nitrobengylsulfonyl)-1-butylpyridinium bromide, 208-9° (decomposition); 3-(p-nitrobenzylsulfonyl)-1-allylpyridinium bromide, 185-6° (decomposition); 3-(p-nitrobenzylsulfonyl)-1-benzyl-pyridinium bromide, 208-10° (decomposition); 3-(p-nitrobenzyl-sulfonyl)-1-(p-nitrobenzyl)pyridinium bromide, 137-9° (decomposition); m-nitrobenzyl 3-pyridyl sulfone, 158-60° (decomposition); 3-(m-nitrobenzylsulfonyl)-1-methylpyridinium bromide, 225-6° (decomposition); p-nitrophenethyl 3-pyridyl sulfone, 171-3°; 3-(p-nitrophenethylsulfonyl)-1-propylpyridinium bromide, 172-4°; p-aminobenzyl 3-pyridyl sulfone, 166-8°; p- acetamidobenzyl 3-pyridyl sulfone (VIII), 181-3° (decomposition); VIII.MeBr, 225-6° (decomposition); p-chlorobenzyl 3-pyridyl sulfone (IX), 163-4°; IX.MeBr, 225-7° (decomposition); 3-pyridyl 4-pyridylmethyl sulfone (X), 150-2°; X.MeBr, 199-201° (decomposition); 2-(4-pyridyl)ethyl 3-pyridyl sulfone-2HCl (XI.-2HCl), 170-2° (decomposition); XI.2MeBr, 202-3° (decomposition); XI.2PhCH2Br.2H2O, 183-5° (decomposition); 2-(g-pyridyl)-ethyl 3-pyridyl sulfone-2HCl (XII.2HCl, 169-71° (decomposition); XII.2MeBr 196-7° (decomposition); XII.HBr.p- O2NC6H4CH4Br, 178-9° (decomposition). The compds. are useful as antimetabolites, possessing antiniacin activity.

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